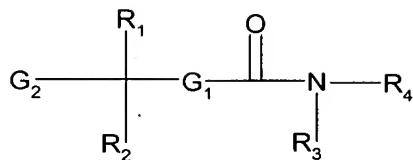


Claims

We Claim:

1. A compound of Formula (I):



5

(I)

wherein

G<sub>1</sub> comprises C<sub>1</sub>-C<sub>6</sub> alkylene or (CH<sub>2</sub>)<sub>k</sub>, where k is 0 to 3;

G<sub>2</sub> comprises a) hydrogen

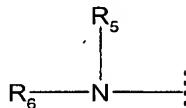
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b) -C<sub>1-6</sub> alkyl;

c) -aryl;

d) -C<sub>1-6</sub> alkylaryl ;

e)



15

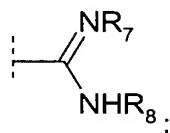
where R<sub>5</sub> and R<sub>6</sub> independently comprise

20

- i) -H;
- ii) -C<sub>1-6</sub> alkyl;
- iii) -aryl;
- iv) -C<sub>1-6</sub> alkylaryl;
- v) -C(O)-O-C<sub>1-6</sub> alkyl;
- vi) -C(O)-O-C<sub>1-6</sub> alkylaryl;
- vii) -C(O)-O-C<sub>1-6</sub> alkylcycloalkylaryl;

- viii) -C(O)-NH-C<sub>1-6</sub> alkyl;
- ix) -C(O)-NH-C<sub>1-6</sub> alkylaryl;
- x) -SO<sub>2</sub>-C<sub>1-6</sub> alkyl;
- xi) -SO<sub>2</sub>-C<sub>1-6</sub> alkylaryl;
- xii) -SO<sub>2</sub>-aryl;
- xiii) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkyl;
- xiv) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkylaryl;

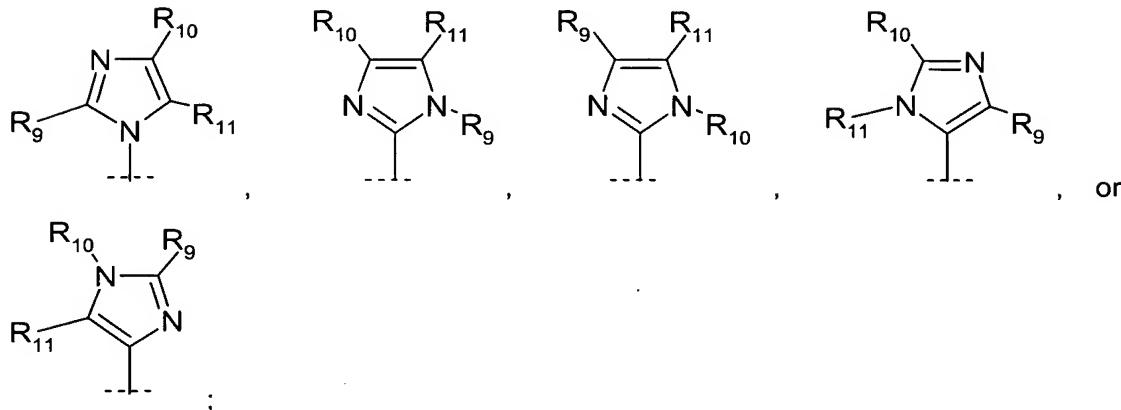
5



- xvi) -C(O)-C<sub>1-6</sub> alkyl; or
- xvii) -C(O)-C<sub>1-6</sub> alkylaryl; or

10

f) a group of the formula



15

wherein

R<sub>9</sub>, R<sub>10</sub>, and R<sub>11</sub> may comprise hydrogen; or

$R_9$ ,  $R_{10}$ , and  $R_{11}$  independently comprise

20

- i) -C<sub>1-6</sub> alkyl;
- ii) -aryl;
- iii) -C<sub>1-6</sub> alkylaryl;

- iv) -C(O)-O-C<sub>1-6</sub> alkyl;
- v) -C(O)-O-C<sub>1-6</sub> alkylaryl;
- vi) -C(O)-NH-C<sub>1-6</sub> alkyl;
- vii) -C(O)-NH-C<sub>1-6</sub> alkylaryl;
- 5 viii) -SO<sub>2</sub>-C<sub>1-6</sub> alkyl;
- ix) -SO<sub>2</sub>-C<sub>1-6</sub> alkylaryl;
- x) -SO<sub>2</sub>-aryl;
- xi) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkyl;
- xii) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkylaryl;
- 10 xiii) -C(O)-C<sub>1-6</sub> alkyl; or
- xiv) -C(O)-C<sub>1-6</sub> alkylaryl;

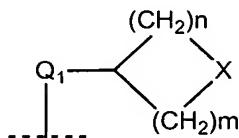
R<sub>10</sub> and R<sub>11</sub> may be taken together to constitute a fused cycloalkyl, fused heterocyclyl, or fused aryl ring containing the atoms to which R<sub>10</sub> and R<sub>11</sub> are bonded;

R<sub>1</sub> comprises

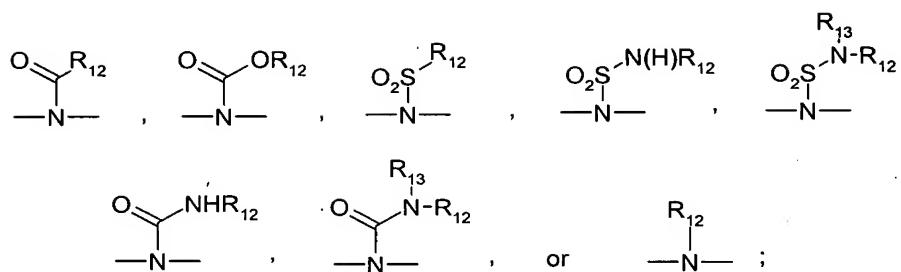
- a) hydrogen;
- b) -C<sub>1-6</sub> alkyl;
- c) -aryl; or
- d) -C<sub>1-6</sub> alkylaryl;

R<sub>2</sub> comprises

- a) -C<sub>1-6</sub> alkyl;
- b) -aryl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d) a group of the formula



30 wherein m and n are independently selected from 1, 2, 3, or 4; X comprises a direct bond, CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,



5 R<sub>3</sub> comprises

- a) hydrogen;
- b) -C<sub>1-6</sub> alkyl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d) -C<sub>1-6</sub> alkoxyaryl;

R<sub>4</sub> comprises

- a) -C<sub>1-6</sub> alkylaryl;
- b) -C<sub>1-6</sub> alkoxyaryl; or
- c) -aryl;

15 R<sub>7</sub>, R<sub>8</sub>, R<sub>12</sub> and R<sub>13</sub> independently comprise hydrogen, C<sub>1-C<sub>6</sub></sub> alkyl, C<sub>1-C<sub>6</sub></sub> alkylaryl, or aryl; and wherein

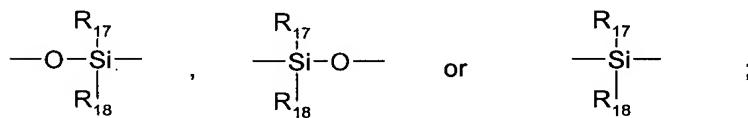
the aryl and/or alkyl group(s) in R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>12</sub>, and R<sub>13</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

20 a) -H;

- b) -Y-C<sub>1-6</sub> alkyl;
- Y-aryl;
- Y-C<sub>1-6</sub> alkylaryl;
- Y-C<sub>1-6</sub>-alkyl-NR<sub>14</sub>R<sub>15</sub>;
- Y-C<sub>1-6</sub>-alkyl-W-R<sub>16</sub>;

25

wherein Y and W independently comprise -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

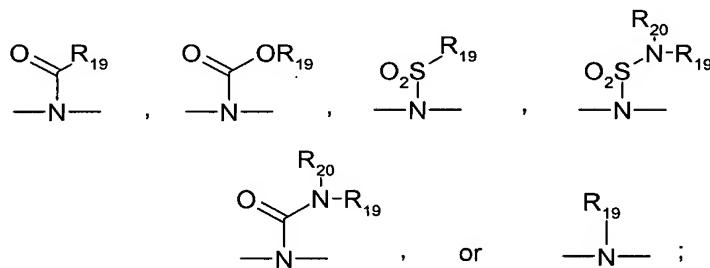


R<sub>16</sub>, R<sub>17</sub>, and R<sub>18</sub> comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

10      R<sub>14</sub> and R<sub>15</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl; and  
wherein

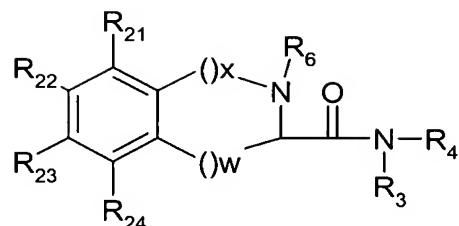
15      R<sub>14</sub> and R<sub>15</sub> may be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>o</sub>-Z-(CH<sub>2</sub>)<sub>p</sub>- bonded to the nitrogen atom to which R<sub>14</sub> and R<sub>15</sub> are attached, and/or R<sub>7</sub> and R<sub>8</sub> may, independently, be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>o</sub>-Z-(CH<sub>2</sub>)<sub>p</sub>- bonded to the atoms to which R<sub>7</sub> and R<sub>8</sub> are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, -CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,



R<sub>19</sub> and R<sub>20</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl.

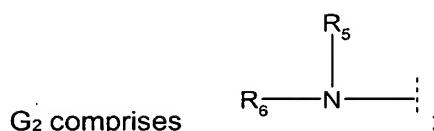
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2. The compound of claim 1, represented by Formula (Ia)



wherein G<sub>1</sub> comprises a direct bond;

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R<sub>1</sub> comprises H;

10 ( ) comprises a -CH<sub>2</sub>- group or a direct covalent bond, and x and w are independently equal to 0 to 2, with the proviso that x and w can not both be equal to 0;

R<sub>3</sub> comprises

- 15 a) hydrogen;
- b) -C<sub>1-6</sub> alkyl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d) -C<sub>1-6</sub> alkoxyaryl;

R<sub>4</sub> comprises

20 a) -C<sub>1-6</sub> alkylaryl;

b) -C<sub>1-6</sub> alkoxyaryl; or

c) -aryl;

R<sub>6</sub> comprises

25 a) -H;

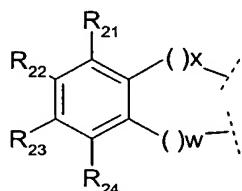
b) -C<sub>1-6</sub> alkyl;

c) -aryl;

d) -C<sub>1-6</sub> alkylaryl; or

e) a group selected from  $-C(O)R_{25}$ ,  $-C(O)OR_{25}$ ,  $-C(O)NR_{26}R_{25}$ ,  $-S(O)_2R_{25}$ , and  $-S(O)_2NR_{26}R_{25}$ ; wherein  $R_{25}$  and  $R_{26}$  independently comprise  $-C_{1-6}$  alkyl, aryl, or  $-C_{1-6}$  alkylaryl;

$R_5$  and  $R_2$  are taken together to form a ring of structure



5

wherein  $R_{21}$ ,  $R_{22}$ ,  $R_{23}$  and  $R_{24}$  independently comprise

- i) -H;
- ii)  $-C_{1-6}$  alkyl;
- iii) -aryl;
- iv)  $-C_{1-6}$  alkylaryl; or
- v) a group of the formula  $-U-R_{27}$ , wherein U comprises  $-C(O)-$ ,  $-C(O)O-$ ,  $-O-$ ,  $-S-$ ,  $-S(O)-$ ,  $-S(O)_2-$ , or  $-NR_{28}-$ ,  
wherein  $R_{27}$  and  $R_{28}$  independently comprise -H, -aryl,  $-C_{1-6}$  alkyl, or  $-C_{1-6}$  alkylaryl;

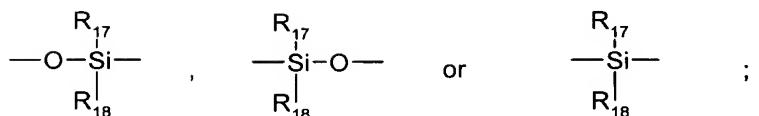
15

the aryl and/or alkyl group(s) in  $R_3$ ,  $R_4$ , and  $R_6$  may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a) -H;
- b)  $-Y-C_{1-6}$  alkyl;  
 $-Y$ -aryl;  
 $-Y-C_{1-6}$  alkylaryl;  
 $-Y-C_{1-6}$ -alkyl-NR<sub>14</sub>R<sub>15</sub>;
- $-Y-C_{1-6}$ -alkyl-W-R<sub>16</sub>;

25

wherein Y and W independently comprise  $-CH_2-$ ,  $-O-$ ,  $-N(H)-$ ,  $-S-$ ,  $SO_2-$ ,  $-CON(H)-$ ,  $-NHC(O)-$ ,  $-NHCON(H)-$ ,  $NHSO_2-$ ,  $-SO_2N(H)-$ ,  $-C(O)-O-$ ,  $-NHSO_2NH-$ ,  $-O-CO-$ ,

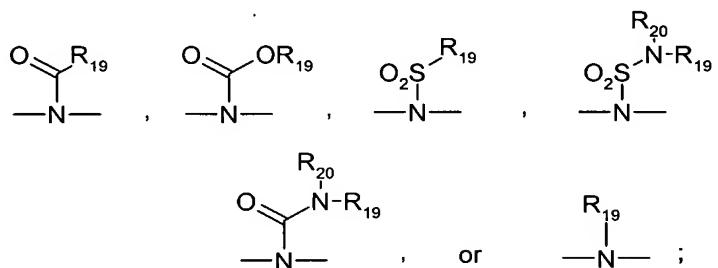


$\text{R}_{16}$ ,  $\text{R}_{17}$ , and  $\text{R}_{18}$  independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl; or

5 c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

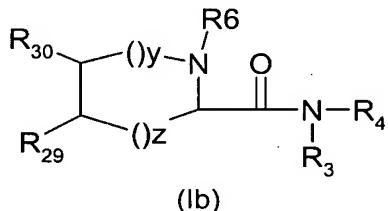
$\text{R}_{14}$  and  $\text{R}_{15}$  independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, and C<sub>1</sub>-C<sub>6</sub> alkylaryl; or wherein

10  $\text{R}_{14}$  and  $\text{R}_{15}$  may be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>o</sub>-Z-(CH<sub>2</sub>)<sub>p</sub>- bonded to the nitrogen atom to which  $\text{R}_{14}$  and  $\text{R}_{15}$  are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, -CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,

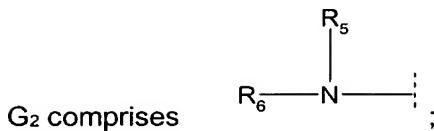


$\text{R}_{19}$  and  $\text{R}_{20}$  comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl.

15 3. The compound of claim 1, represented by Formula (Ib)



20 wherein,  
G<sub>1</sub> comprises a direct bond;



R<sub>1</sub> comprises H;

5

( ) comprises a -CH<sub>2</sub>- group or a direct covalent bond, and y and z are, independently, an integer of from 0 to 3;

R<sub>3</sub> comprises

10

- a) hydrogen;
- b) -C<sub>1-6</sub> alkyl;
- c) -C<sub>1-6</sub> alkylaryl; or
- d) -C<sub>1-6</sub> alkoxyaryl;

R<sub>4</sub> comprises

15

- a) -C<sub>1-6</sub> alkylaryl;
- b) -C<sub>1-6</sub> alkoxyaryl; or
- c) -aryl;

R<sub>6</sub> comprises

20

- a) -H;
- b) -C<sub>1-6</sub> alkyl;
- c) -aryl;
- d) -C<sub>1-6</sub> alkylaryl; or
- e) a group selected from -C(O)R<sub>25</sub>, -C(O)OR<sub>25</sub>, -C(O)NR<sub>26</sub>R<sub>25</sub>, -S(O)<sub>2</sub>R<sub>25</sub>, and -S(O)<sub>2</sub>NR<sub>26</sub>R<sub>25</sub>; wherein R<sub>25</sub> and R<sub>26</sub> independently comprise -C<sub>1-6</sub> alkyl, aryl, or -C<sub>1-6</sub> alkylaryl;

25

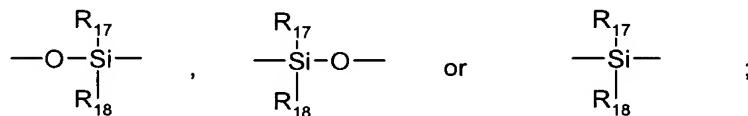
the aryl and/or alkyl group(s) in R<sub>3</sub>, R<sub>4</sub>, and R<sub>6</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

30

- a) -H;
- b) -Y-C<sub>1-6</sub> alkyl;
- Y-aryl;

-Y-C<sub>1-6</sub> alkylaryl;  
-Y-C<sub>1-6</sub>-alkyl-NR<sub>14</sub>R<sub>15</sub>;  
-Y-C<sub>1-6</sub>-alkyl-W-R<sub>16</sub>;

5 wherein Y and W independently comprise -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

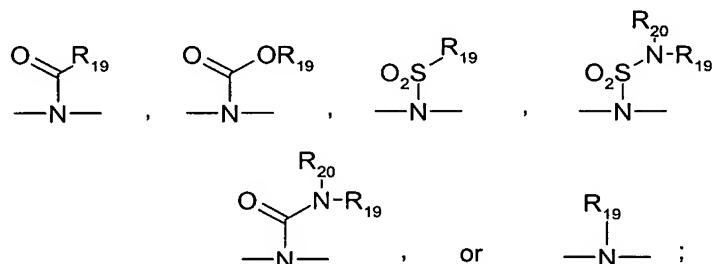


10 R<sub>16</sub>, R<sub>17</sub>, and R<sub>18</sub> comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

15 R<sub>14</sub> and R<sub>15</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl; and  
wherein

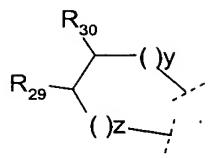
R<sub>14</sub> and R<sub>15</sub> may be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>o</sub>Z-(CH<sub>2</sub>)<sub>p</sub>- bonded to the nitrogen atom to which R<sub>14</sub> and R<sub>15</sub> are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, -CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,



20

R<sub>19</sub> and R<sub>20</sub> comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl;

R<sub>5</sub> and R<sub>2</sub> are taken together to form a ring of structure



wherein  $R_{29}$  and  $R_{30}$  independently comprise

- a) -H
- b) -C<sub>1-6</sub> alkyl;
- c) -aryl;
- d) -C<sub>1-6</sub> alkylaryl;
- e) -C(O)-O-C<sub>1-6</sub> alkyl;
- f) -C(O)-O-C<sub>1-6</sub> alkylaryl;
- g) -C(O)-NH-C<sub>1-6</sub> alkyl;
- h) -C(O)-NH-C<sub>1-6</sub> alkylaryl;
- i) -SO<sub>2</sub>-C<sub>1-6</sub> alkyl;
- j) -SO<sub>2</sub>-C<sub>1-6</sub> alkylaryl;
- k) -SO<sub>2</sub>-aryl;
- l) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkyl;
- m) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkylaryl;
- n) -C(O)-C<sub>1-6</sub> alkyl;
- o) -C(O)-C<sub>1-6</sub> alkylaryl; or
- p) a group of the formula -V-R<sub>31</sub>,

wherein V comprises a group of the formula -C(O), -OC(O)-, -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -NH-, or -N(R<sub>32</sub>)-;

wherein  $R_{31}$  and  $R_{32}$  comprise

- i) -H
- ii) -C<sub>1-6</sub> alkyl;
- iii) -aryl;
- iv) -C<sub>1-6</sub> alkylaryl;
- v) -C(O)-O-C<sub>1-6</sub> alkyl;
- vi) -C(O)-O-C<sub>1-6</sub> alkylaryl;
- vii) -C(O)-NH-C<sub>1-6</sub> alkyl;-C(O)-NH-C<sub>1-6</sub> alkylaryl;
- viii) -SO<sub>2</sub>-C<sub>1-6</sub> alkyl;
- ix) -SO<sub>2</sub>-C<sub>1-6</sub> alkylaryl;
- x) -SO<sub>2</sub>-aryl;

- xi) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkyl;
- xii) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkylaryl;
- xiii) -C(O)-C<sub>1-6</sub> alkyl; or
- xiv) -C(O)-C<sub>1-6</sub> alkylaryl;

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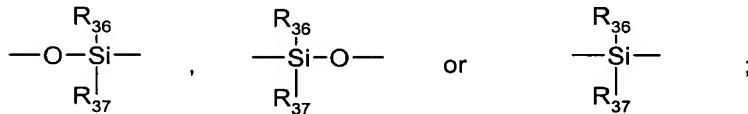
wherein R<sub>29</sub>, R<sub>30</sub>, R<sub>31</sub>, and R<sub>32</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a) -H;
- b) -L-C<sub>1-6</sub> alkyl;

10

- L-aryl;
- L-C<sub>1-6</sub> alkylaryl;
- L-C<sub>1-6</sub>-alkyl-NR<sub>33</sub>R<sub>34</sub>;
- L-C<sub>1-6</sub> alkyl-Q<sub>2</sub>-R<sub>35</sub>;

wherein L and Q<sub>2</sub> independently comprise -CH<sub>2</sub>-, -O-, -N(H), -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

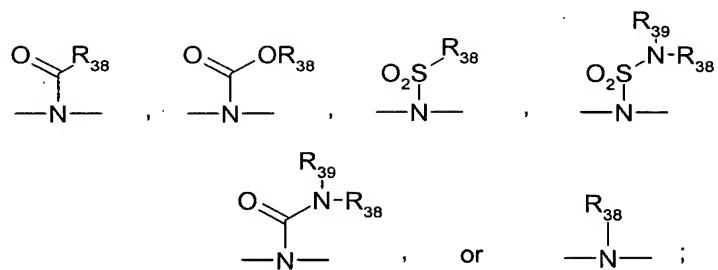


20 R<sub>35</sub>, R<sub>36</sub>, and R<sub>37</sub> comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl; or

- c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

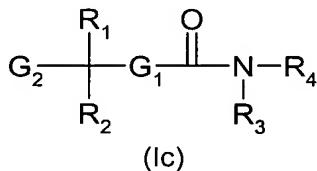
R<sub>33</sub> and R<sub>34</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl; and wherein

25 R<sub>33</sub> and R<sub>34</sub> may be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>e</sub>-J-(CH<sub>2</sub>)<sub>k</sub>- bonded to the nitrogen atom to which R<sub>33</sub> and R<sub>34</sub> are attached, wherein e and k are, independently, 1, 2, 3, or 4; J comprises a direct bond, -CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,



R<sub>38</sub> and R<sub>39</sub> comprises hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl.

4. The compound of claim 1, represented by Formula (Ic):

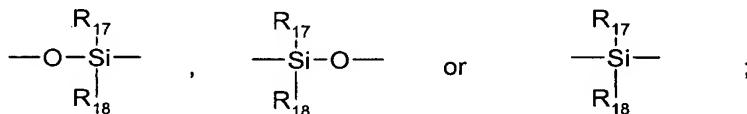


wherein,

10 R<sub>1</sub> comprises hydrogen, or C<sub>1-3</sub> alkylaryl wherein the aryl is substituted with -Y-C<sub>1-6</sub> alkylaryl;

15 R<sub>2</sub> comprises C<sub>1-3</sub> alkylaryl wherein the aryl is substituted with -Y-C<sub>1-6</sub> alkylaryl,

wherein Y comprises -CH<sub>2</sub>-, -O-, -N(H), -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

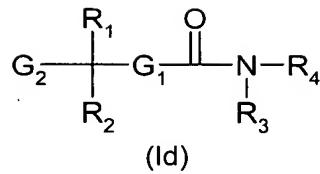


20

R<sub>17</sub>, and R<sub>18</sub> independently comprises hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl.

25

5. The compound of claim 1, represented by Formula (Id):



wherein,

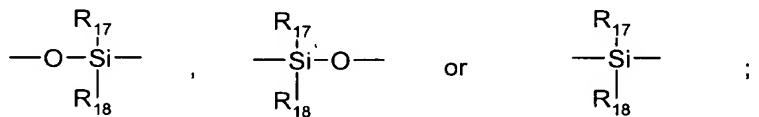
5

$\text{R}_1$  comprises hydrogen, or  $\text{C}_{1-3}$  alkylaryl wherein the aryl is substituted with  $-\text{Y}-\text{C}_{1-6}$  alkylaryl;

10

$\text{R}_2$  comprises  $\text{C}_{1-3}$  alkylaryl wherein the aryl is substituted with  $-\text{Y}-\text{C}_{1-6}$  alkylaryl;

wherein  $\text{Y}$  comprises  $-\text{CH}_2-$ ,  $-\text{O}-$ ,  $-\text{N}(\text{H})-$ ,  $-\text{S}-$ ,  $\text{SO}_{2-}$ ,  $-\text{CON}(\text{H})-$ ,  $-\text{NHC(O)}-$ ,  $-\text{NHCON}(\text{H})-$ ,  $-\text{NHSO}_{2-}$ ,  $-\text{SO}_2\text{N}(\text{H})-$ ,  $-\text{C(O)-O-}$ ,  $-\text{NHSO}_2\text{NH-}$ ,  $-\text{O-CO-}$ ,



15

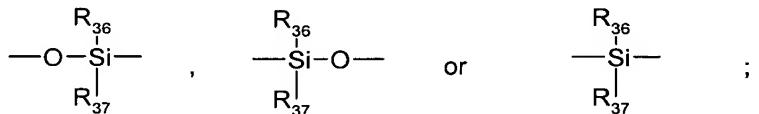
$\text{R}_{17}$ , and  $\text{R}_{18}$  independently comprises hydrogen, aryl,  $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$  alkylaryl,  $\text{C}_{1-6}$  alkoxy, or  $\text{C}_{1-6}$  alkoxyaryl;

20

$\text{R}_3$  comprises hydrogen or  $-\text{L}-\text{C}_{1-6}\text{-alkyl-N(alkyl)}_2$ ;

$\text{R}_4$  comprises  $-\text{L}-\text{C}_{1-6}\text{-alkyl-N(alkyl)}_2$ ;

wherein  $\text{L}$  comprises  $-\text{CH}_2-$ ,  $-\text{O}-$ ,  $-\text{N}(\text{H})-$ ,  $-\text{S}-$ ,  $\text{SO}_{2-}$ ,  $-\text{CON}(\text{H})-$ ,  $-\text{NHC(O)}-$ ,  $-\text{NHCON}(\text{H})-$ ,  $-\text{NHSO}_{2-}$ ,  $-\text{SO}_2\text{N}(\text{H})-$ ,  $-\text{C(O)-O-}$ ,  $-\text{NHSO}_2\text{NH-}$ ,  $-\text{O-CO-}$ ,

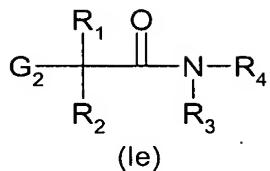


25

$\text{R}_{35}$ ,  $\text{R}_{36}$ , and  $\text{R}_{37}$  independently comprise hydrogen, aryl,  $\text{C}_{1-6}$  alkyl,  $\text{C}_{1-6}$  alkylaryl,  $\text{C}_{1-6}$  alkoxy, or  $\text{C}_{1-6}$  alkoxyaryl.

30

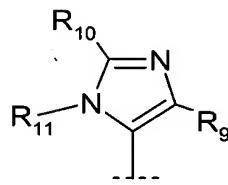
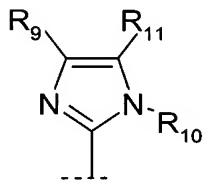
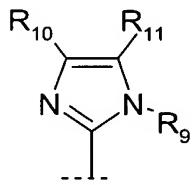
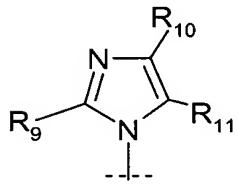
6. The compound of claim 1, represented by Formula (Ie):



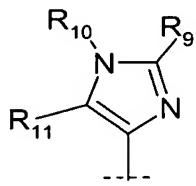
wherein,

5 G<sub>1</sub> comprises a direct bond;

G<sub>2</sub> comprises a group of the formula



, or



10 wherein

R<sub>9</sub>, R<sub>10</sub>, and R<sub>11</sub> may be hydrogen; or

15 R<sub>9</sub>, R<sub>10</sub>, and R<sub>11</sub> independently comprise

15

- i) -C<sub>1-6</sub> alkyl;
- ii) -aryl;
- iii) -C<sub>1-6</sub> alkylaryl;
- iv) -C(O)-O-C<sub>1-6</sub> alkyl;
- v) -C(O)-O-C<sub>1-6</sub> alkylaryl;
- vi) -C(O)-NH-C<sub>1-6</sub> alkyl;
- vii) -C(O)-NH-C<sub>1-6</sub> alkylaryl;
- viii) -SO<sub>2</sub>-C<sub>1-6</sub> alkyl;
- ix) -SO<sub>2</sub>-C<sub>1-6</sub> alkylaryl;
- x) -SO<sub>2</sub>-aryl;
- xi) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkyl;
- xii) -SO<sub>2</sub>-NH-C<sub>1-6</sub> alkylaryl;

20

25

- xiii) -C(O)-C<sub>1-6</sub> alkyl; or
- xiv) -C(O)-C<sub>1-6</sub> alkylaryl; or

5           R<sub>10</sub> and R<sub>11</sub> may be taken together to constitute a fused cycloalkyl, fused heterocyclyl, or fused aryl ring containing the atoms to which R<sub>10</sub> and R<sub>11</sub> are bonded;

R<sub>1</sub> comprises H;

R<sub>2</sub> comprises

10           a) -C<sub>1-6</sub> alkyl;  
              b) -aryl; or  
              c) -C<sub>1-6</sub> alkylaryl;

R<sub>3</sub> comprises

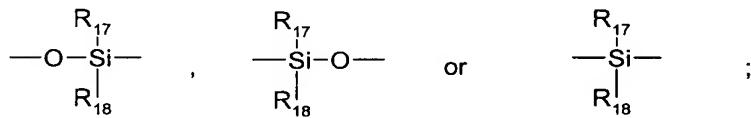
15           a) hydrogen;  
              b) -C<sub>1-6</sub> alkyl;  
              c) -C<sub>1-6</sub> alkylaryl; or  
              d) -C<sub>1-6</sub> alkoxyaryl;

20           R<sub>4</sub> comprises  
              a) -C<sub>1-6</sub> alkylaryl;  
              b) -C<sub>1-6</sub> alkoxyaryl; or  
              c) -aryl;

25           the aryl and/or alkyl group(s) in R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

a) -H;  
  
b) -Y-C<sub>1-6</sub> alkyl;  
    -Y-aryl;  
  
30           -Y-C<sub>1-6</sub> alkylaryl;  
    -Y-C<sub>1-6</sub>-alkyl-NR<sub>14</sub>R<sub>15</sub>;  
    -Y-C<sub>1-6</sub>-alkyl-W-R<sub>16</sub>;

wherein Y and W independently comprise -CH<sub>2</sub>-, -O-, -N(H)-, -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NSO<sub>2</sub>- , -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,



5

$R_{16}$ ,  $R_{17}$ , and  $R_{18}$  comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

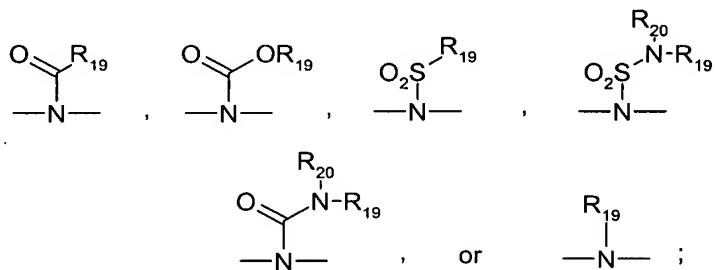
10 R<sub>14</sub> and R<sub>15</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl; and  
wherein

$R_{14}$  and  $R_{15}$  may be taken together to form a ring having the formula  $-(CH_2)_n-Z-(CH_2)_p-$

bonded to the nitrogen atom to which R<sub>14</sub> and R<sub>15</sub> are attached, wherein o and p are,

independently, 1, 2, 3, or 4; Z comprises a direct bond, -CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -

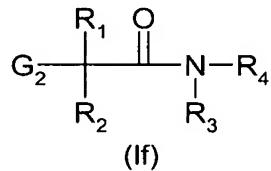
15 CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>- , -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,



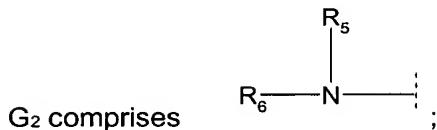
R<sub>19</sub> and R<sub>20</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl;

7. The compound of claim 1, represented by Formula (If):

20

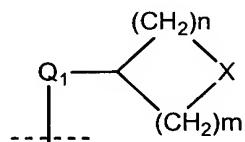


wherein,  
 $G_1$  comprises a direct bond;

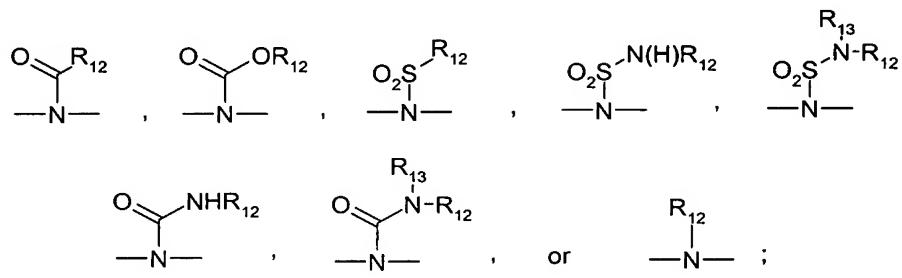


$R_1$  comprises H;

5       $R_2$  comprises a group of the formula



10      wherein m and n are independently selected from 1, 2, 3, or 4; X comprises a direct bond,  $CH_2-$ ,  $-O-$ ,  $-S-$ ,  $-S(O_2)-$ ,  $-C(O)-$ ,  $-CON(H)-$ ,  $-NHC(O)-$ ,  $-NHCON(H)-$ ,  $-NHSO_2-$ ,  $-SO_2N(H)-$ ,  $-C(O)-O-$ ,  $-O-C(O)-$ ,  $-NHSO_2NH-$ ,



- $Q_1$ - comprises  $C_{1-6}$  alkylene,  $C_{2-6}$  alkenylene, or  $C_{2-6}$  alkynylene;

15

$R_{12}$  and  $R_{13}$  independently comprises hydrogen,  $C_{1-C_6}$  alkyl,  $C_{1-C_6}$  alkylaryl, or aryl; and wherein

$R_3$  comprises

20      a) hydrogen;  
 b)  $-C_{1-6}$  alkyl;  
 c)  $-C_{1-6}$  alkylaryl; or  
 d)  $-C_{1-6}$  alkoxyaryl;

R<sub>4</sub> comprises

- a) -C<sub>1-6</sub> alkylaryl;
- b) -C<sub>1-6</sub> alkoxyaryl; or
- c) -aryl;

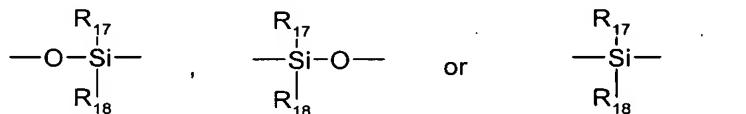
5 R<sub>5</sub> and R<sub>6</sub> independently comprise

- a) -H;
- b) -C<sub>1-6</sub> alkyl;
- c) -aryl;
- d) -C<sub>1-6</sub> alkylaryl; or
- e) a group selected from -C(O)R<sub>25</sub>, -C(O)OR<sub>25</sub>, -C(O)NR<sub>26</sub>R<sub>25</sub>, -S(O)<sub>2</sub>R<sub>25</sub>, and -S(O)<sub>2</sub>NR<sub>26</sub>R<sub>25</sub>; wherein R<sub>25</sub> and R<sub>26</sub> independently comprise -C<sub>1-6</sub> alkyl, aryl, and -C<sub>1-6</sub> alkylaryl;

15 the aryl and/or alkyl group(s) in R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>12</sub>, and R<sub>13</sub> may be optionally substituted 1-4 times with a substituent group, wherein said substituent group(s) or the term substituted refers to groups comprising:

- a) -H;
- b) -Y-C<sub>1-6</sub> alkyl;
- Y-aryl;
- Y-C<sub>1-6</sub> alkylaryl;
- Y-C<sub>1-6</sub>-alkyl-NR<sub>14</sub>R<sub>15</sub>;
- Y-C<sub>1-6</sub>-alkyl-W-R<sub>16</sub>;

25 wherein Y and W independently comprise -CH<sub>2</sub>-, -O-, -N(H), -S-, SO<sub>2</sub>-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -NHSO<sub>2</sub>NH-, -O-CO-,

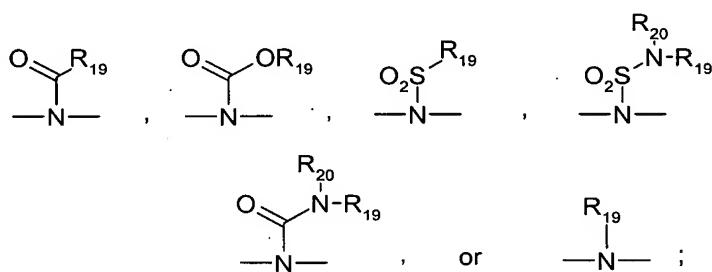


30 R<sub>16</sub>, R<sub>17</sub>, and R<sub>18</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylaryl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or C<sub>1</sub>-C<sub>6</sub> alkoxyaryl; or

c) halogen, hydroxyl, cyano, carbamoyl, or carboxyl; and

R<sub>14</sub> and R<sub>15</sub> independently comprises hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl; and wherein

R<sub>14</sub> and R<sub>15</sub> may be taken together to form a ring having the formula -(CH<sub>2</sub>)<sub>o</sub>-Z-(CH<sub>2</sub>)<sub>p</sub>- bonded to the nitrogen atom to which R<sub>14</sub> and R<sub>15</sub> are attached, wherein o and p are, independently, 1, 2, 3, or 4; Z comprises a direct bond, -CH<sub>2</sub>-, -O-, -S-, -S(O<sub>2</sub>)-, -C(O)-, -CON(H)-, -NHC(O)-, -NHCON(H)-, -NHSO<sub>2</sub>-, -SO<sub>2</sub>N(H)-, -C(O)-O-, -O-C(O)-, -NHSO<sub>2</sub>NH-,



R<sub>19</sub> and R<sub>20</sub> independently comprise hydrogen, aryl, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkylaryl.

~~8. The compound of claim 1, wherein the compound comprises 3-(4-Benzylxyphenyl)propionic Acid 2,4-Di-(3-Diethylamino-1-propoxy)aniline Amide.~~

~~9. The compound of claim 1, wherein the compound comprises 3-(3-Tert-butoxyphenyl)-3-(9-fluorenylmethoxycarbonylamino)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.~~

~~10. The compound of claim 1, wherein the compound comprises 3-(3-Tert-butoxyphenyl)-3-aminopropionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.~~

~~11. The compound of claim 1, wherein the compound comprises 3-(4-Benzylxyphenyl)propionic Acid 2,4-Di-(3-Diethylamino-1-propoxy)aniline Amide.~~

~~12. The compound of claim 1, wherein the compound comprises 3-(3-Tert-butoxyphenyl)-3-(9-fluorenylmethoxycarbonylamino)propionic Acid 2,4-Di-(3-diethylaminopropoxy)aniline Amide.~~

Sub  
A'  
Cont.

13. The compound of claim 1, wherein the compound comprises 3-(3-Tert-butoxyphenyl)-3-aminopropionic Acid 2,4-Di-(3-diethylaminoproxy)aniline Amide.

5           14. The compound of claim 1, wherein the compound comprises 3-(4-Tetrahydropyranyl)-2-aminopropionic Acid 4-Diethylaminoethoxycarbonyl-2-butoxyaniline Amide Dihydrochloride.

10           15. The compound of claim 1, wherein the compound comprises (2S, 4R)-4-Tert-Butoxypyrrolidine-2-carboxylic acid 2,4-Di(3-diethylamino-1-propoxy)aniline Amide.

16. The compound of claim 1, wherein the compound comprises (3S)-1,2,3,4-Tetrahydroisoquinoline-3-carboxylic Acid 4-Diethylaminoethoxycarbonyl-2-butoxyaniline Amide Dihydrochloride.

15           17. The compound of claim 1, wherein the compound comprises (R)-3-(4-Benzylxyloxyphenyl)-2-(1-imidazolyl)propionic Acid 4-Diethylaminoethoxycarbonyl-2-butoxyaniline Amide.

20           18. The compound of claim 1, wherein the compound comprises 3-(4-Tert-butoxyphenyl)-3-(9-fluorenylmethoxycarbonylamino)propionic Acid 2,4-Di-(3-diethylaminoproxy)aniline Amide.

25           19. The compound of claim 1, wherein the compound comprises 3-amino-3-(4-tert-butoxyphenyl)propionic Acid 2,4-Di-(3-diethylaminoproxy)aniline Amide.

20           20. The compound of claim 1, wherein the compound comprises 3-(9-fluorenylmethoxycarbonylamino)-3-(2-tert-butoxyphenyl)propionic Acid 2,4-Di-(3-diethylaminoproxy)aniline Amide.

30           21. The compound of claim 1, wherein the compound comprises 3-amino-3-(2-tert-butoxyphenyl)propionic Acid 2,4-Di-(3-diethylaminoproxy)aniline Amide.

22. The compound of claim 1, wherein the compound comprises 3-Isopropylamino-3-(3-tert-butoxyphenyl)propionic Acid 2,4-Di-(3-diethylaminoproxy)aniline Amide.

23. The compound of claim 1, wherein the compound comprises (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminoproxy)-N-benylaniline Amide.

5        24. The compound of claim 1, wherein the compound comprises (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminoproxy)-N-cyclopentylmethylaniline Amide.

10      25. The compound of claim 1, wherein the compound comprises (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminoproxy)-N-isopropylaniline Amide.

15      26. The compound of claim 1, wherein the compound comprises (2R)-2-amino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminoproxy)-N- cyclohexylmethylaniline Amide.

20      27. The compound of claim 1, wherein the compound comprises (2R)-2-amino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminoproxy)-N- cyclopentylmethylaniline Amide.

25      28. The compound of claim 1, wherein the compound comprises (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminoproxy)-N-butyylaniline Amide.

30      29. The compound of claim 1, wherein the compound comprises (2R)-2-amino-3-[4-(benzyloxy)phenyl]propionic Acid 4-(3-diethylaminoproxy)-N- butylaniline Amide.

35      30. The compound of claim 1, wherein the compound comprises (2R)-2-tert-butoxycarbonylamino-3-[4-(benzyloxy)phenyl]propionic Acid 3-(3-diethylaminoproxy)-N-butyylaniline Amide.

32. The compound of claim 1, wherein the compound comprises (2R)-2-amino-3-[4-(benzyloxy)phenyl]propionic Acid 3-(3-diethylaminoproxy)-N- butylaniline Amide.

35      32. The compound of claim 1, wherein the compound comprises 3-(1-Tert-butoxycarbonylpiperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminoproxy-2-butoxyaniline Amide.

33. The compound of claim 1, wherein the compound comprises 3-(Piperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminoproxy-2-butoxaniline Amide.

5

34. The compound of claim 1, wherein the compound comprises 3-(1-Benzylpiperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminoproxy-2-butoxaniline Amide.

10

35. The compound of claim 1, wherein the compound comprises 3-(1-Benzylpiperidin-4-yl)-2-aminopropionic Acid 4-Diethylaminoproxy-2-butoxaniline Amide.

36. The compound of claim 1, wherein the compound comprises 3-(1-Benzoyloxycarbonylpiperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminoproxy-2-butoxaniline Amide.

15

37. The compound of claim 1, wherein the compound comprises 3-(1-Benzoylpiperidin-4-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminoproxy-2-butoxaniline Amide.

20

38. The compound of claim 1, wherein the compound comprises 3-(1-Benzoylpiperidin-4-yl)-2-benzoylaminopropionic Acid 4-Diethylaminoproxy-2-butoxaniline Amide.

25

39. The compound of claim 1, wherein the compound comprises 3-(Tert-butoxycarbonylpiperidin-3-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminoproxy-2-butoxaniline Amide.

30

40. The compound of claim 1, wherein the compound comprises 3-(Piperidin-3-yl)-2-(9-fluorenylmethoxycarbonylamino)propionic Acid 4-Diethylaminoproxy-2-butoxaniline Amide.

35

41. A pharmaceutical composition comprising the compound of Formula (I) as claimed in claim 1, and one or more pharmaceutically acceptable carriers, excipients, or diluents.

42. The pharmaceutical composition of claim 41, in the form of an oral dosage or parenteral dosage unit.

5       43. The pharmaceutical composition of claim 41, wherein said compound is administered as a dose in a range from about 0.01 to 500 mg/kg of body weight per day.

44. The pharmaceutical composition of claim 41, wherein said compound is administered as a dose in a range from about 0.1 to 200 mg/kg of body weight per day.

10      45. The pharmaceutical composition of claim 41, wherein said compound is administered as a dose in a range from about 0.1 to 100 mg/kg of body weight per day.

15      46. The pharmaceutical composition of claim 41, further comprising one or more therapeutic agents selected from the group consisting of alkylating agents, antimetabolites, plant alkaloids, antibiotics, hormones, biologic response modifiers, analgesics, NSAIDs, DMARDs, glucocorticoids, sulfonylureas, biguanides, insulin, cholinesterase inhibitors, antipsychotics, antidepressants, and anticonvulsants.

20      47. A method for the inhibition of the interaction of RAGE with its physiological ligands, which comprises administering to a subject in need thereof, at least one compound of Formula (I) as claimed in claim 1.

48. The method of claim 47, wherein the ligand(s) is(are) selected from advanced glycated end products (AGEs), S100/calgranulin/EN-RAGE,  $\beta$ -amyloid and amphotericin.

25      49. A method for treating a disease state selected from the group consisting of acute and chronic inflammation, symptoms of diabetes, vascular permeability, nephropathy, atherosclerosis, retinopathy, Alzheimer's disease, erectile dysfunction, and tumor invasion and/or metastasis, which comprises administering to a subject in need thereof a therapeutically effective amount of at least one compound of Formula (I) as claimed in claim  
30      1.

50. A method of prevention and/or treatment of RAGE mediated human diseases comprising administration to a human in need thereof a therapeutically effective amount of a compound of Formula (I) as claimed in claim 1, wherein a therapeutically effective amount

comprises sufficient compound to at least partially inhibit the binding of a ligand to the RAGE receptor.

5           51. The method of claim 50, further comprising administering to a subject in need thereof at least one adjuvant and/or additional therapeutic agent(s).

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10           52. A method of claim 51, wherein therapeutic agents selected from the group consisting of alkylating agents, antimetabolites, plant alkaloids, antibiotics, hormones, biologic response modifiers, analgesics, NSAIDs, DMARDs, glucocorticoids, sulfonylureas, biguanides, insulin, cholinesterase inhibitors, antipsychotics, antidepressants, and anticonvulsants.

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15           53. The method claim 50, wherein the RAGE mediated human disease comprises acute and/or chronic inflammation.

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20           54. The method claim 50, wherein the RAGE mediated human disease comprising vascular permeability.

25           55. The method claim 50, wherein the RAGE mediated human disease comprising ephropathy.

30           56. The method claim 50, wherein the RAGE mediated human disease comprising atherosclerosis.

35           57. The method claim 50, wherein the RAGE mediated human disease comprising retinopathy.

40           58. The method claim 50, wherein the RAGE mediated human disease comprising Alzheimer's disease.

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45           59. The method claim 50, wherein the RAGE mediated human disease comprises erectile dysfunction.

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50           60. The method claim 50, wherein the RAGE mediated human disease comprises tumor invasion and/or metastasis.

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